

CLAIMS

1. An amphiphilic heparin derivative formed from an at least partially N-desulfated heparin and from at least one bile acid, comprising one or more bile acid molecules grafted onto the heparin molecule by an amide bond formed between the terminal carboxylic acid functional group of the bile acid and a primary amine functional group of the heparin, originally present in the heparin or resulting from the N-desulfation, characterized in that the number of bile acid molecules grafted per 100 disaccharide units of the heparin is between about 15 and about 80.
2. The amphiphilic heparin derivative as claimed in claim 1, characterized in that the number of bile acid molecules grafted per 100 disaccharide units of the heparin is between about 20 and about 60.
3. The amphiphilic heparin derivative as claimed in claim 1 or 2, characterized in that the bile acid is chosen from cholic acid, deoxycholic acid, lithocholic acid, cholanic acid and chenodeoxycholic acid, and mixtures thereof.
4. The amphiphilic heparin derivative as claimed in any one of claims 1 to 3, characterized in that it is prepared in calcium, magnesium or sodium salt form.
5. The amphiphilic heparin derivatives as claimed in any one of claims 1 to 4, characterized in that they are capable of spontaneously assembling in an aqueous medium to form nanoparticles.
6. Nanoparticles which can be formed from the amphiphilic heparin derivative as claimed in any one of claims 1 to 5.

7. The nanoparticles as claimed in claim 6, characterized in that their average size is between 10 nm and 1 μ m.

5 8. The nanoparticles as claimed in claim 6 or 7, characterized in that they contain one or more inner hydrophobic domains and a hydrophilic outer surface.

9. The nanoparticles as claimed in any one of claims
10 6 to 8, characterized in that they additionally contain one or more hydrophobic active ingredients dissolved in their hydrophobic inner domain.

10. The nanoparticles as claimed in claim 9,
15 characterized in that said active ingredients additionally carry one or more polar groups.

11. The nanoparticles as claimed in claim 9 or 10,
20 characterized in that said active ingredients are chosen from anti-inflammatory agents, antifungal agents, calcium channel inhibitors and anticancer agents.

12. The nanoparticles as claimed in any one of claims
25 9 to 11, as vectors for active ingredients which can be administered by the oral route.

13. The nanoparticles as claimed in any one of claims
9 to 11, as vectors for active ingredients which make
30 it possible to increase their absorption by the intestinal mucosa.

14. The nanoparticles as claimed in any one of claims
9 to 11, as vectors for active ingredients which allow
35 their gradual release in the intestinal mucosa.

15. The nanoparticles as claimed in any one of claims 6 to 14, characterized in that they exist in freeze-dried form.

16. A colloidal suspension in aqueous medium containing the nanoparticles as claimed in any one of claims 6 to 14.

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17. A pharmaceutical composition comprising the nanoparticles as claimed in any one of claims 9 to 14, combined with at least one pharmaceutically acceptable excipient.

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18. The pharmaceutical composition as claimed in claim 17, in which the excipient is chosen to allow administration of active ingredients by the oral route.

15 19. The pharmaceutical composition as claimed in claim 18, in the form of granules, microgranules, tablets, gelatin capsules or solutions to be taken orally.

20 20. A method for preparing the amphiphilic heparin derivative as claimed in any one of claims 1 to 5, comprising the at least partial N-desulfation of a heparin, and then a coupling step which consists in reacting at least one primary amine functional group of
25 the heparin, originally present or resulting from the N-desulfation, with the terminal carboxylic acid functional group, optionally in activated form, of at least one bile acid.

30 21. The method for preparing the amphiphilic heparin derivative as claimed in claim 20, characterized in that the coupling agent used to activate the terminal carboxylic functional group of the bile acid is chosen from benzotriazolyloxytris(dimethylamino)phosphonium
35 hexafluorophosphate (BOP), benzotriazolyloxytris-pyrrolidinophosphonium hexafluorophosphate (PyBOP) and bromotrispyrrolidinophosphonium hexafluorophosphate (PyBroP).

22. A method for preparing the nanoparticles as claimed in any one of claims 9 to 14, characterized in that the active ingredient is incorporated into said nanoparticles by direct dissolution with stirring, by
5 dialysis, by oil/water emulsion or by solvent evaporation.

23. The use of the nanoparticles as claimed in any one of claims 9 to 14, to increase the solubility of a
10 hydrophobic active ingredient in an aqueous medium.